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WPI ACC NO: 1994-248856/199430

Biogenic polypeptide-polyester ionic conjugates - used for controlled, sustained release of polypeptide drug, e.g. from injectable microparticles

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Patent Family (33 patents, 34 countries)

Patent

Application

Number	Kind	Date	Number	Kind	Date	Update
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Priority Applications (no., kind, date): IE 19935 A 19930106; KR
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Alerting Abstract WO A2

A novel compsn. (I) comprises a polyester (II) contg. one or more free
 COOH gps. (pref. with COOH:OH ratio above 1) with a biogenic polypeptide
 (III) contg. at least one effective ionogenic amine. At least 50 wt.% of
 (III) in the compsn. is ionically conjugated with (II).

USE/ADVANTAGE - (I) is a sustained release form of (III), specifically
 capable of releasing a therapeutically effective dose of (III) in vivo for
 at least 7 days. (I) is formulated e.g. as injectable microspheres or
 microparticles or implantable films or rods. Admin. may be s.c., i.m.,
 parenteral, by suppository or nasal. Biodegradable or absorbable polyesters
 (II) can be tailored to provide controlled chain hydrolysability and
 release characteristics by appropriate choice of monomer and mol. wts., and
 show max. binding capacity for oligopeptides, polypeptides or proteins
 (III) having net positive charge at physiological pH. Loading of (III) can

be maximised by choice of (II). (I) are easily shaped (e.g. into microparticles) without use of multiphase emulsions or non-aq. 2-phase systems.